

=&gt; d bib abs hitstr 115 1

L15 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2000 ACS

AN 1999:451303 CAPLUS

DN 131:73842

TI Process for preparing carboxamido-4-azasteroids.

IN Panzeri, Achille; D'Anello, Matteo; Longo, Antonio; Nesi, Marcella

PA Pharmacia &amp; Upjohn Spa, Italy

SO PCT Int. Appl., 24 pp.

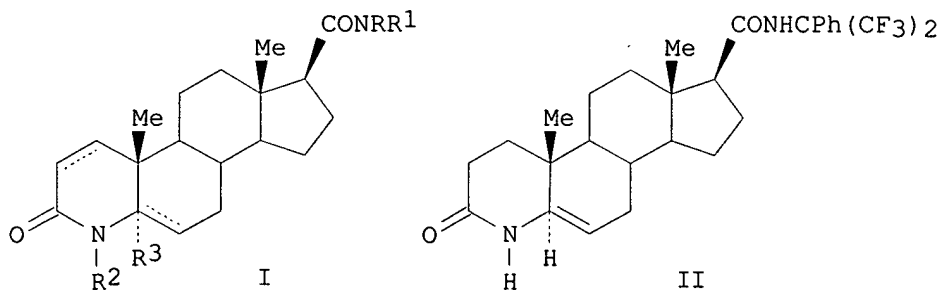
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9935161	A1	19990715	WO 1998-EP8527	19981217
	W:	AL, AU, BA, BG, BR, CA, CN, CZ, EE, HU, ID, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9925146	A1	19990726	AU 1999-25146	19981217
	EP 970105	A1	20000112	EP 1998-966861	19981217
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
	NO 9904199	A	19991029	NO 1999-4199	19990830
PRAI	GB 1997-27522		19971231		
	WO 1998-EP8527		19981217		
OS	CASREACT 131:73842; MARPAT 131:73842				
GI					



AB A process for producing azasteroids of formula I [R, R1 = H, (fluorine substituted) alkyl, (fluorine substituted) phenylalkyl, etc.; R2 = H, (fluorine substituted) alkyl; R3 = H, absent] comprises treating the corresponding 17.β-carbonylimidazole intermediates with anhyd. acids in the presence of an amine and, optionally, hydrogenating the resulting compd. Thus, 3-oxo-4-azaandrost-5-ene-17.β-carbonyl-1-imidazole was reacted with 1,1,1,3,3,3-hexafluoro-2-phenylprop-2-ylamine and methanesulfonic acid to give II.

IT 129273-17-2P 229183-12-4P

Searched by John Dantzman

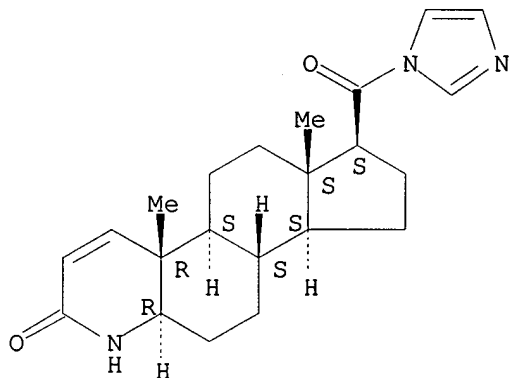
308-4488

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of 17.β-carboxamido-4-azasteroids)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[ (4aR,4bS,6aS,7S,9aS,9bS,11aR)-  
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-  
1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

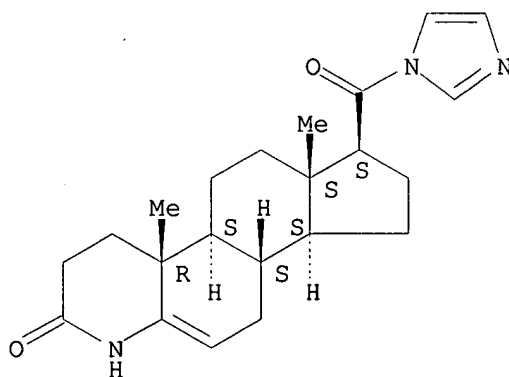
Absolute stereochemistry.



RN 229183-12-4 CAPLUS

CN 1H-Imidazole,  
1-[[ (4aR,4bS,6aS,7S,9aS,9bS)-2,3,4,4a,4b,5,6,6a,7,8,9,9a,9b,  
10-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-  
yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=&gt; d bib abs hitstr l15 2

L15 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2000 ACS

AN 1994:457781 CAPLUS

DN 121:57781

TI Fluorinated 17.beta.-substituted 4-aza-5.alpha.-androstan-3-one  
 derivatives useful as testosterone 5.alpha.-reductase inhibitors, and  
 their preparation

IN Panzeri, Achille; Nesi, Marcella; Di Salle, Enrico

PA Farmitalia Carlo Erba S.R.L., Italy

SO PCT Int. Appl., 70 pp.

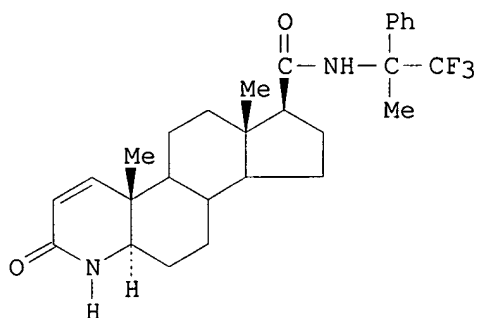
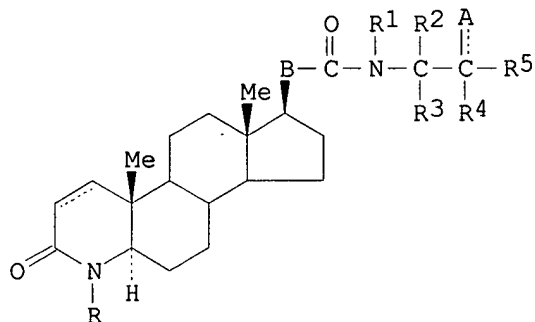
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9403475	A1	19940217	WO 1993-EP2037	19930729
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CN 1085224	A	19940413	CN 1993-109305	19930729
	CN 1041096	B	19981209		
	ZA 9305481	A	19940516	ZA 1993-5481	19930729
	EP 607400	A1	19940727	EP 1993-917705	19930729
	EP 607400	B1	19971105		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	HU 67043	A2	19950130	HU 1994-914	19930729
	AU 660562	B2	19950629	AU 1993-47048	19930729
	AT 159951	E	19971115	AT 1993-917705	19930729
	IL 106520	A1	19971120	IL 1993-106520	19930729
	ES 2110620	T3	19980216	ES 1993-917705	19930729
	NO 9401080	A	19940518	NO 1994-1080	19940324
	FI 9401424	A	19940527	FI 1994-1424	19940328
PRAI	GB 1992-16284		19920731		
	WO 1993-EP2037		19930729		
OS	MARPAT 121:57781				
GI					



AB Title steroids I [B = bond, straight or branched C1-C6 alkylene; R = H, C1-C4 (fluoro)alkyl; R1 = H, C1-C6 (fluoro)alkyl, benzyl; R2 = (a) H, F, C1-C6 (fluoro)alkyl, C5-C7 cycloalkyl, C6-C9 cycloalkylalkyl; or (b) (un)substituted aryl or C7-C10 arylalkyl; R3 = (a) H, F, C1-C4 (fluoro)alkyl; or (b) (un)substituted aryl or C7-C10 arylalkyl; R4 = H, F, or is absent when A is bound by double bond; R5 = H, F, C1-C6 (fluoro)alkyl; A = H, F, CR6R7R8, :CR6R7; R6, R7, R8 = H, F, C1-C6 (fluoro)alkyl; with the proviso that .gtoreq. 1 of groups R-R5 or A contains .gtoreq. 1 F atom], including 44 specifically named compds., are claimed, and several example preps. are given. For example, S-(2-pyridyl) 3-oxo-4-aza-5.alpha.-andros-1-ene-17.beta.-carbothioate was treated with MeI in CH2Cl2 and then with (.+-.)-PhC(Me)(CF3)NH2 in DMF, and the mixt. was heated at 100.degree. for 8 h to give title compd. II. At 3 mg/kg/day orally, II gave 54% inhibition of testosterone-induced prostatic hypertrophy in castrated rats. Three std. pharmaceutical formulations are described.

IT **155651-63-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and redn. of, in prepn. of antiandrogens)

RN 155651-63-1 CAPLUS

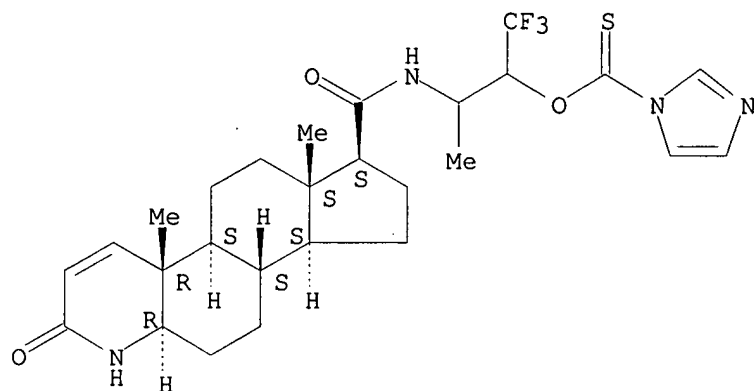
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,

11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3,3,3-trifluoro-2-(1H-imidazol-1-ylthioxomethoxy)-1-methylpropyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

308-4488



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L15 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2000 ACS

AN 1991:164608 CAPLUS

DN 114:164608

TI Acylimidazolides as versatile synthetic intermediates for the preparation of sterically congested amides and ketones: a practical synthesis of Proscar

AU Bhattacharya, A.; Williams, J. M.; Amato, J. S.; Dolling, U. H.; Grabowski, E. J. J.

CS Process Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA

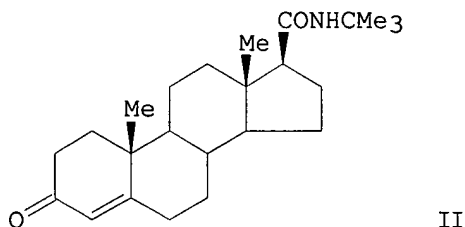
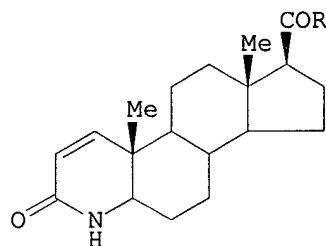
SO Synth. Commun. (1990), 20(17), 2683-90  
CODEN: SYNCAV; ISSN: 0039-7911

DT Journal

LA English

OS CASREACT 114:164608

GI



AB Acylimidazolides, e.g., I (R = 1-imidazolyl) react with magnesium amides to produce carboxamides in excellent yields, whereas Fe(III) catalyzed cross coupling between acylimidazolidine and Grignard reagents produce ketones in high yields. These methods were utilized to prep. the .alpha.-reductase inhibitor Proscar I (R = NHCMe3), as well as various 17.beta.-amides, e.g., I (R = NEt2, NHR1; R1 = cyclohexyl, 2-adamantyl) and II, and ketone analogs I (R = sec-Bu, iso-Bu, iso-Pr, cyclohexyl) of .DELTA.1-4-aza-5.alpha.-androst-3-one.

IT 129273-17-2

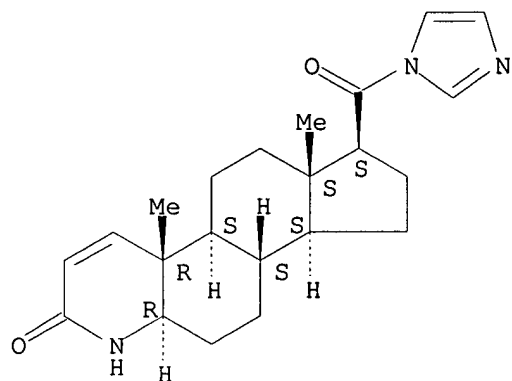
RL: RCT (Reactant)

(condensation of, with Grignard reagents, amides and ketones from)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[ (4aR,4bS,6aS,7S,9aS,9bS,11aR) -  
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-  
1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

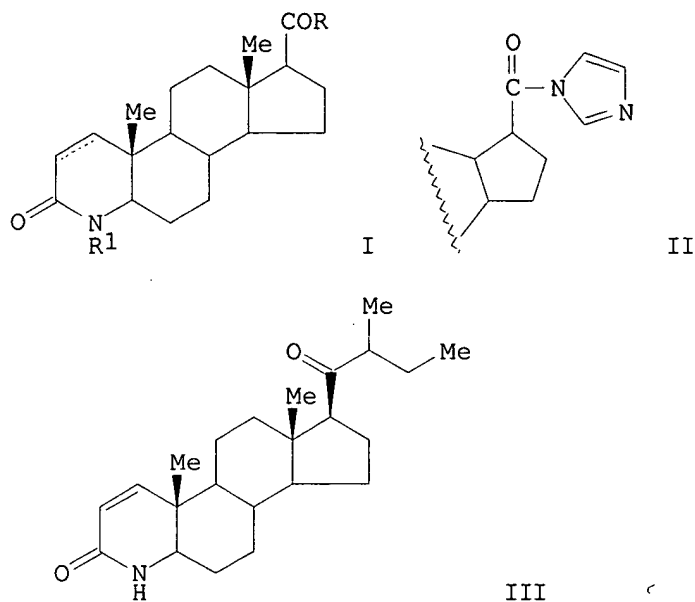


=> d bib abs hitstr 115 4

L15 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2000 ACS  
AN 1990:532584 CAPLUS  
DN 113:132584  
TI Preparation of 4-azo-chol-1-ene-3,20-dione derivatives as testosterone  
reductase inhibitors  
IN Bhattacharya, Apurba; Dolling, Ulf H.; Amato, Joseph S.; Williams, John  
M.  
PA Merck and Co., Inc., USA  
SO Eur. Pat. Appl., 13 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 367502	A1	19900509	EP 1989-311066	19891026
	EP 367502	B1	19950913		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
	US 5237061	A	19930817	US 1988-264652	19881031
	CA 1326013	A1	19940111	CA 1989-615350	19890929
	JP 02172999	A2	19900704	JP 1989-275124	19891024
	JP 07014959	B4	19950222		
	ES 2078909	T3	19960101	ES 1989-311066	19891026
	DK 8905395	A	19900501	DK 1989-5395	19891030
	DK 170734	B1	19951227		
PRAI	US 1988-264652		19881031		
OS	MARPAT 113:132584				
GI					





AB The title compds. [I; R = (hydroxy-, carboxy-, or alkyl ester-substituted)C1-12 alkyl, cycloalkyl, Ph, OH, alkoxy, PhCH<sub>2</sub>O, NH<sub>2</sub>;  
 R1 = H, Me, Et; dotted line = optional double bond], useful as testosterone 5.alpha.-reductase inhibitors (no data), were prepd. by treatment of imidazolidines II with Grignard reagents or with amines in the presence of Grignard reagents. Thus, 3-oxo-4-aza-5.alpha.-androst-1-ene 17.beta.-carboxylic acid in CH<sub>2</sub>Cl<sub>2</sub> was treated with carbonyldiimidazole over 20 min and the mixt. was stirred an addnl. 20 min to give 91.5% of the corresponding carbonylimidazole. The latter, in THF at -40.degree., was treated with MeCH<sub>2</sub>CHMeMgCl; Fe(acac)<sub>3</sub> in THF was then added at -15.degree. to give 58.3% azanorcholenedione III.

IT **129273-17-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and alkylation of)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

